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①⑨ ①CA **CANADIAN PATENT** ①②

⑤④ PROCESS FOR PREPARING 2(1H)-QUINAZOLINONE  
DERIVATIVES

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Granted to Sumitomo Chemical Company, Limited,  
Japan

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No. OF CLAIMS 4 - No drawing

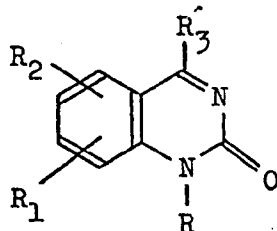
A NOVEL PROCESS FOR PREPARING  
2(1H)-QUINAZOLINONE DERIVATIVES

ABSTRACT OF THE DISCLOSURE

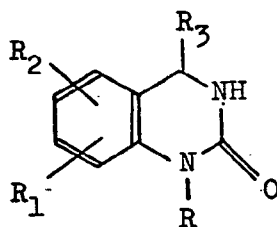
1           2(1H)-Quinazolinone derivatives such as 1-  
cyclopropylmethyl-4-phenyl-6-chloro-2(1H)-quinazolinone  
are prepared in high yield with high purity by reacting  
the corresponding 3,4-dihydro-2(1H)-quinazolinone deri-  
5 vative with chlorine or bromine in the presence or  
absence of an alkali in an inert solvent.

THE EMBODIMENTS OF THE INVENTION IN WHICH AN EXCLUSIVE PROPERTY OR PRIVILEGE IS CLAIMED ARE DEFINED AS FOLLOWS:

1. A process for preparing a compound of the formula,



wherein  $R_1$  and  $R_2$  are individually hydrogen, halogen, lower alkyl, lower alkoxy, lower alkylthio, lower alkylsulfonyl, nitro, trifluoromethyl, di-lower alkylamino, or  $R_1$  and  $R_2$  together may form methylenedioxy;  $R_3$  is phenyl, halophenyl, nitrophenyl, lower alkylphenyl, lower alkoxyphenyl or pyridyl; and  $R$  is lower alkyl, lower cycloalkyl, lower cycloalkyl-lower-alkyl, aralkyl, lower alkoxy-lower alkyl or lower haloalkyl; or a pharmaceutically acceptable acid addition salt thereof, which comprises reacting a compound of the formula,



wherein  $R$ ,  $R_1$ ,  $R_2$  and  $R_3$  are as defined above, with chlorine or bromine in the presence or absence of an alkali in an inert solvent.

2. A process according to Claim 1, wherein the

reaction is carried out at a temperature within a range of from room temperature to a boiling point of the solvent employed.

3. A process according to Claim 1, wherein the inert solvent is selected from the group consisting of methanol, ethanol, n-propanol, isopropanol, n-butanol, tert-butanol, methoxyethanol, ethoxyethanol, tetrahydrofuran, dioxane, water, chloroform, carbon tetrachloride, 1,2-dichloroethane, 1,1,1-trichloroethane, benzene, toluene and a mixture thereof.

4. A process according to Claim 1, wherein the amount of chlorine or bromine is at least equimolar to the 3,4-dihydro-2(1H)-quinazolinone.



**SUBSTITUTE**

***REMPLACEMENT***

**SECTION is not Present**

***Cette Section est Absente***